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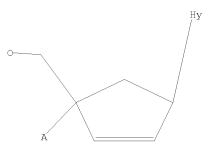
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FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
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10/583,573

PROJECTED ITERATIONS: 7699161 TO 7771239 PROJECTED ANSWERS: 0 TO

0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:50:07 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 7739821 TO ITERATE

18.5% PROCESSED 1428334 ITERATIONS 27 ANSWERS

23.8% PROCESSED 1844919 ITERATIONS 47 ANSWERS

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PROJECTED ITERATIONS: 7739821 TO 7739821 PROJECTED ANSWERS: 141 TO

L3 47 SEA SSS FUL L1

=> d scan

47 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

4(3H)-Pyrimidinone, 2-amino-5-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-ΙN

C11 H15 N3 O3 MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

47 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

Benzamide, N-[1-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-methylene-2-cyclopenten-1-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-ΙN

MF C31 H47 N3 O4 Si2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

REGISTRY COPYRIGHT 2010 ACS on STN 47 ANSWERS 1.3

IN2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethynyl-, (1R, 4S) -rel-

C13 H12 C1 N5 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 47 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethenyl-, (1R,4R)-rel-

MF C13 H14 Cl N5 O

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

10/583,573

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L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2009:1209881 CAPLUS

DN 152:144950

TI Synthesis and anti-HCV evaluation of 4'(α)-ethyl and 2'(β)-methyl-carbodine analogs

AU Li, Hua; Yoo, Jin Cheol; Hong, Joon Hee

CS BK21 { Project Team, College of Pharmacy, Chosun University, Kwangju, S. Korea

SO Nucleosides, Nucleotides & Nucleic Acids (2009), 28(9), 809-820 CODEN: NNNAFY; ISSN: 1525-7770

PB Taylor & Francis, Inc.

DT Journal

LA English

GΙ

Novel 4'(α)-ethyl-2'(β)-Me carbocyclic nucleoside analogs, e.g. I (B = cytosine, adenine), have been prepared and evaluated for inhibition of hepatitis C virus (HCV) RNA replication in cell culture. The construction of cyclopentene intermediate II was successfully made via sequential Johnson-Claisen ortho-ester rearrangement and ring-closing metathesis (RCM) starting from amide TBDMSO-CH2-C(0)-N(Me)OMe. Selective dihydroxylation and desilylation gave the target carbodine analogs. The synthesized nucleoside analogs I were assayed for their ability to inhibit HCV RNA replication in a sub-genomic replicon Huh7 cell line (LucNeo#2). However, the synthesized nucleosides neither showed any significant antiviral activity nor toxicity up to 50 μ M.

IT 1204184-85-9P 1204184-86-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis and anti-HCV evaluation of $4'(\alpha)$ -Et and

(synthesis and anti-HCV evaluation of $4 \cdot (\alpha)$ -Et and

2'(β)-methyl-carbodine analogs)

RN 1204184-85-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4S)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethyl-2-methyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

RN 1204184-86-0 CAPLUS

CN 9H-Purin-6-amine, 9-[(1R,4S)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethyl-2-methyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2009:1171773 CAPLUS

DN 151:470267

TI Pd-Catalyzed Borylative Cyclization of Allenynes and Enallenes

AU Pardo-Rodriguez, Virtudes; Marco-Martinez, Juan; Bunuel, Elena; Cardenas, Diego J.

CS Departamento de Quimica Organica, Universidad Autonoma de Madrid, Madrid, 28049, Spain

SO Organic Letters (2009), 11(20), 4548-4551 CODEN: ORLEF7; ISSN: 1523-7060

CODEN: ORLEF7; ISSN: 1523-70
PB American Chemical Society

DT Journal

LA English

OS CAŚREACT 151:470267

AB Pd-catalyzed cyclization of 1,5- and 1,6-allenynes and 1,5-enallenes with bis(pinacolato)diboron affords synthetically useful allylboronates and alkylboronates under smooth conditions in a formal hydroborylative carbocyclization reaction. One C-C and one C-B bond are formed in a single operation. The reaction outcome implies that different mechanisms operate for the reactions of allenynes and enallenes, resp., the actual pathway depending on the relative reactivity of the alkyne or the alkene vs. the allene moiety. The cyclized boronates obtained can be functionalized by oxidation or allylation reaction with aldehydes.

1192068-05-5P 1192068-38-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of allyl- and alkylboronates by Pd-catalyzed borylative cyclization of allenynes and enallenes)

RN 1192068-05-5 CAPLUS CN 2-Cyclopentene-1,1-di

2-Cyclopentene-1,1-dicarboxylic acid, 4-methyl-3-(1-methylethyl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-, 1,1-dimethyl ester (CA INDEX NAME)

TΤ

1192068-38-4 CAPLUS RN 2-Cyclopentene-1,1-dicarboxylic acid, CN 4-methyl-3-(1-methylpropyl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaborolan-2-dioxaboyl)-, 1,1-dimethyl ester (CA INDEX NAME)

THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 67 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2009:964432 CAPLUS

151:403520 DN

Synthesis of $(\pm)-4$ '-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-TΙ carbocyclic thymidine: a difluoromethylidene analog of promising anti-HIV agent Ed4T

ΑIJ Kumamoto, Hiroki; Haraguchi, Kazuhiro; Ida, Mayumi; Nakamura, Kazuo T.; Kitagawa, Yasuyuki; Hamasaki, Takayuki; Baba, Masanori; Matsubayashi, Satoko Shimbara; Tanaka, Hiromichi School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai,

CS

Shinagawa-ku, Tokyo, 142-8555, Japan Tetrahedron (2009), 65(36), 7630-7636 CODEN: TETRAB; ISSN: 0040-4020 SO

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 151:403520

GΙ

Synthesis of ethynyl-difluoro-dehydro-deoxy-carbocyclic-thymidine I was carried out. The difluoromethylylidene group of 8 was constructed by the electrophilic fluorination to the cyclopentenone by using Selectfluor. Introduction of thymine base was investigated based on the Mitsunobu reaction by employing cyclopentenyl allyl alcs. variously substituted at the 4-position. It was found the 4-methoxycarbonyl derivative 14 gave the highest selectivity both in terms of regio- and stereochem.

IT 1188386-13-1P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure; synthesis of (±)-4'-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-carbocyclic thymidine analog of promising anti-HIV agent Ed4T via Mitsunobu nucleophilic substitution and electrophilic fluorination reactions)

RN 1188386-13-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-5,5-difluoro-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

IT 1188386-26-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of $(\pm)-4$ '-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-carbocyclic thymidine analog of promising anti-HIV agent Ed4T via Mitsunobu nucleophilic substitution and electrophilic fluorination reactions)

RN 1188386-26-6 CAPLUS

CN 2-Cyclopentene-1-carboxylic acid, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-, methyl ester, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 1188386-2U-U CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-4-ethynyl-5,5-difluoro-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

10/583,573

RN 1188386-24-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

RN 1188386-66-4 CAPLUS

CN 2-Cyclopentene-1-carboxylic acid, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-, methyl ester, (1R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2009:862850 CAPLUS
- DN 151:529010
- TI Synthesis and anti-HIV activity of 4'-modified cyclopentenyl pyrimidine C-nucleosides
- AU Liu, Lian Jin; Hong, Joon Hee
- CS BK21-Project Team, College of Pharmacy, Chosun University, Kwangju, S. Korea
- SO Nucleosides, Nucleotides & Nucleic Acids (2009), 28(4), 303-314 CODEN: NNNAFY; ISSN: 1525-7770
- PB Taylor & Francis, Inc.
- DT Journal
- LA English

GΙ

Novel syntheses of 4-modified cyclopentenyl pyrimidine C-nucleosides, e.g. I, were performed via C-C bond formation using SN2 alkylation via the key intermediate mesylates, which were prepared from acyclic ketone derivs. When antiviral evaluation of synthesized compound was performed against various viruses such as HIV-1, HSV-1 and HSV-2, isocytidine analog I showed moderate anti-HIV activity in CEM cell line (EC50 = 13.1 μ mol) without any cytotoxicity up to 100 μmol .

1193397-16-8P 1193397-12-4P 1193397-22-6P

1193397-25-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis and anti-HIV activity of 4'-modified cyclopentenyl

pyrimidine C-nucleosides via SN2 alkylation reaction)

RN 1193397-12-4 CAPLUS

CN 4(3H) -Pyrimidinone, 2-amino-5-[4, 4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-(CA INDEX NAME)

1193397-16-8 CAPLUS RN

2,4(1H,3H) -Pyrimidinedione, 5-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-CN (CA INDEX NAME)

1193397-22-6 CAPLUS

4(3H)-Pyrimidinone, 2-amino-5-[4-(hydroxymethyl)-4-methyl-2-cyclopenten-1yl]- (CA INDEX NAME)

1193397-25-9 CAPLUS

2,4(1H,3H) -Pyrimidinedione, 5-[4-(hydroxymethyl)-4-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopen-1-methyl-2-cyclopenten-1-methyl-2-cyclopenten-1-methyl-2-cyclopyl]- (CA INDEX NAME)

1193397-11-3P 1193397-15-7P 1193397-21-5P

1193397-24-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and anti-HIV activity of 4'-modified cyclopentenyl

pyrimidine C-nucleosides via SN2 alkylation reaction) 1193397-11-3 CAPLUS

RN

4(3H)-Pyrimidinone, 2-amino-5-[4,4-bis[[[(1,1-

dimethylethyl)dimethylsilyl]oxy]methyl]-2-cyclopenten-1-yl]- (CA INDEX NAME)

1193397-15-7 CAPLUS RN

2,4(1H,3H)-Pyrimidinedione, 5-[4,4-bis[[[(1,1dimethylethyl)dimethylsilyl]oxy]methyl]-2-cyclopenten-1-yl]- (CA INDEX NAME)

1193397-21-5 CAPLUS RN

4(3H)-Pyrimidinone, 2-amino-5-[4-[[[(1,1dimethylethyl)dimethylsilyl]oxy]methyl]-4-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

1193397-24-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-[4-[[[(1,1-INDEX NAME)

10/583,573

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN T. 4 ΑN 2008:1388797 CAPLUS Synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine TΙ analogues using a ring-closing metathesis Liu, Lian Jin; Ko, Ok Hyun; Hong, Joon Hee BK21-Project Team, College of Pharmacy, Chosun University, Gwangju, ΑU CS 501-759, S. Korea Bulletin of the Korean Chemical Society (2008), 29(9), 1723-1728 SO CODEN: BKCSDE; ISSN: 0253-2964 Korean Chemical Society DT Journal English LA OS CASREACT 151:56632 GΙ

Ι

cyclopenten-1-yl]-, rel- (CA INDEX NAME)

An efficient synthetic route for carbocyclic versions of stavudine analogs AB and their evaluation on antiviral activity are described. The construction of an ethynylated quaternary carbon at the 4'-position of carbocyclic nucleosides was accomplished using Claisen rearrangement of (E,Z)-3-(tert-butyldimethylsilyloxymethyl)pent-2-en-4-yn-1-ol andring-closing metathesis (RCM) of a dienyne derivative as key transformations. An antiviral evaluation of the title compds. against HIV-1, HSV-1, HSV-2, and HCMV showed that only the guanine analog I is moderately active against HIV-1 in the MT-4 cell line (EC50 = 11.91 $\mu\text{mol})$. 1160705-46-3P 1160705-49-6P RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine analogs using a ring-closing metathesis) 1160705-46-3 CAPLUS $2,4(1\mathrm{H,3H})-\mathrm{Pyrimidinedione,}\ 1-[(1\mathrm{R,4S})-4-\mathrm{ethynyl}-4-(\mathrm{hydroxymethyl})-2-\mathrm{hydroxymethyl}]$ CN

Relative stereochemistry.

RN 1160705-49-6 CAPLUS CN 6H-Purin-6-one, 2-amino-9-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-1,9-dihydro-, rel- (CA INDEX NAME)

1160705-43-0P 1160705-44-1P 1160705-47-4P ΙT

1160705-48-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine analogs using a ring-closing metathesis)

RN 1160705-43-0 CAPLUS

 $\label{eq:continuous} 2,4\,(1\text{H},3\text{H})-\text{Pyrimidinedione},\ 1-[(1\text{R},4\text{S})-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethynyl-2-cyclopenten-1-yl]-5-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethynyl-2-cyclopenten-1-yl]-5-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethynyl-2-cyclopenten-1-yl]-5-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethynyl-2-cyclopenten-1-yl]-5-dimethylethyl)dimethylsilyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methyl]oxy]methylloxy]methyl]oxy]methyl]oxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methylloxy]methyllo$ methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

1160705-44-1 CAPLUS RN

2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-[[[(1,1-CNdimethylethyl)dimethylsilyl]oxy]methyl]-4-ethynyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN1160705-47-4 CAPLUS

9H-Purin-2-amine, 6-chloro-9-[(1R, 4S)-4-[[[(1,1- $\verb|dimethylethyl|| \verb|dimethylsilyl|| oxy| methyl| -4-ethynyl-2-cyclopenten-1-yl|- \textit{,}$ rel- (CA INDEX NAME)

Relative stereochemistry.

1160705-48-5 CAPLUS RN

CN2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethynyl-, (1R, 4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:1352438 CAPLUS

DN 151:57074

TI Novel Synthesis and Anti-HIV Activity of 4'-Branched Exomethylene Carbocyclic Nucleosides Using a Ring-Closing Metathesis of Triene

AU Li, Hua; Yoo, Jin Cheol; Hong, Joon Hee

 $\mbox{CS} \quad \mbox{BK-21}$ Project Team, College of Pharmacy, Chosun University, Kwangju, S. Korea

SO Nucleosides, Nucleotides & Nucleic Acids (2008), 27(12), 1238-1249 CODEN: NNNAFY; ISSN: 1525-7770

PB Taylor & Francis, Inc.

DT Journal

LA English

OS CASREACT 151:57074

GΙ

AB The exomethylene of I (RR1 = CH2) was successfully constructed from the aldehyde I (R = R1 = H) using Eschenmoser's reagents. A triene compound II was cyclized successfully using Grubbs' II catalyst to give an exomethylene carbocycle nucleus for the target compound A Mitsunobu reaction was successfully used to condense the natural bases (adenine, thymine, uracil, and cytosine). The synthesized cytosine analog III showed moderate anti-HIV activity (EC50 = 10.67 $\mu\text{M})$.

IT 1160714-25-9P 1160714-34-0P 1160714-35-1P

1160714-37-3P 1160714-38-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and anti-HIV activity of 4'-branched exomethylene carbocyclic nucleosides using sigmatropic rearrangement, Eschenmoser methylenation, and ring-closure metathesis of triene)

RN 1160714-25-9 CAPLUS

CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)-5-methylene- (CA INDEX NAME)

RN1160714-34-0 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME) CN

RN 1160714-35-1 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-5-methylene-2-CNcyclopenten-1-yl]- (CA INDEX NAME)

RN1160714-37-3 CAPLUS

Benzamide, N-[1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (CA INDEX NAME)

RN 1160714-38-4 CAPLUS

2(1H)-Pyrimidinone, 4-amino-1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)

1160714-22-6P 1160714-24-8P 1160714-27-1P

1160714-30-6P 1160714-32-8P 1160714-33-9P

1160714-36-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and anti-HIV activity of 4'-branched exomethylene carbocyclic nucleosides using sigmatropic rearrangement, Eschenmoser methylenation, and ring-closure metathesis of triene) 1160714-22-6 CAPLUS

RN

CN9H-Purine, 9-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5methylene-2-cyclopenten-1-yl]-6-chloro- (CA INDEX NAME)

RN 1160714-24-8 CAPLUS

CN 2-Cyclopentene-1,1-dimethanol, 4-(6-chloro-9H-purin-9-yl)-5-methylene-(CA INDEX NAME)

RN 1160714-27-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-methylene-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

RN 1160714-30-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-methylene-2-cyclopenten-1-yl]-(CA INDEX NAME)

RN 1160714-32-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

McIntosh

RN 1160714-33-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis(hydroxymethyl)-5methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)

RN 1160714-36-2 CAPLUS

CN Benzamide, N-[1-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-methylene-2-cyclopenten-1-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:1153976 CAPLUS

DN 150:252109

TI Synthesis and antiviral evaluation of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analogue

AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Ida, Mayumi; Tanaka, Hiromichi; Hamasaki, Takayuki; Baba, Masanori

CS School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo, 142-8555, Japan

SO Nucleic Acids Symposium Series (2008), 52(1), 609-610 CODEN: NASSCJ; ISSN: 1746-8272

URL: http://nass.oxfordjournals.org/content/vol52/issue1/index.dtl

PB Oxford University Press

DT Journal; (online computer file)

LA English

AB Synthesis of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog 8, in which the furanose ring oxygen of usual nucleosides is replaced with a geminal-difluoromethylidene group, was carried out. Electrophilic fluorination with Selectfluor was applied to construct a gem-di-fluorocyclopentenone system to give 12. Regioselective introduction of thymine base was performed under the Mitsunobu conditions by employing the 4-methoxy-carbonyl derivative 13. Antiviral evaluation of 8 was also examined

IT 1119274-67-7P 1119274-73-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antiviral effect of

 $(\pm)-4$ '-ethynyl-5'-difluorocarbocyclic-d4T analog)

RN 1119274-67-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1S,4R)-4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-4-ethynyl-5,5-difluoro-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 1119274-73-5 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-, methyl ester, (1S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 1119274-72-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis and antiviral effect of
 (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog)
RN 1119274-72-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1S)-4,4-bis[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

IT 1119274-59-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (synthesis and antiviral effect of $(\pm)-4'$ -ethynyl-5'-difluorocarbocyclic-d4T analog)

RN 1119274-59-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:748000 CAPLUS

DN 150:214607

TI An efficient synthesis of 4'-vinylated carboxylic nucleoside analogues via two directional ring-closing metathesis

AU Li, Hua; Hong, Joon Hee

CS BK21-Project Team, College of Pharmacy, Chosun University, Gwangju, 501-759, S. Korea

SO Bulletin of the Korean Chemical Society (2008), 29(5), 993-997 CODEN: BKCSDE; ISSN: 0253-2964

PB Korean Chemical Society

DT Journal

LA English

OS CASREACT 150:214607

GI

AB Two-directional ring-closing metathesis (RCM) was applied successfully to the synthesis of 4'-vinylated carbocyclic nucleoside analogs from the trivinyl intermediate I, which was readily made using a sequential Claisen rearrangement starting from Weinreb amide Me3CMe2SiOCH2CONMeOMe. An antiviral evaluation of the synthesized compds. against various viruses such as HIV, HSV-1, HSV-2, and HCMV revealed that the coresponding guanine analog has moderate anti-HIV activity in the MT-4 cell line (EC50 = 10.2 $\mu\text{M})$.

IT 1112877-76-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antiviral vinylated carboxylic nucleoside analogs via two-directional ring-closing metathesis)

RN 1112877-76-5 CAPLUS

CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethenyl-, (1R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$H_2N$$
 N
 N
 R
 R
 CH_2
 OH

IT 1112877-71-0P 1112877-74-3P 1112877-78-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of antiviral vinylated carboxylic nucleoside analogs via two-directional ring-closing metathesis)

RN 1112877-71-0 CAPLUS

CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1-ethenyl-, (1R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 1112877-74-3 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4R)-4-ethenyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 1112877-78-7 CAPLUS

CN 6H-Purin-6-one, 2-amino-9-[(1R,4R)-4-ethenyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-1,9-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

RN 1112877-68-5 CAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-[(1R,4R)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethenyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

McIntosh

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT